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Synthesis and antitumor activity of substituted 3-(5-imidazo [2,1-b]thiazolylmethylene)-2-indolinones.

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The synthesis of 3-(5-imidazo]2,1-blthiazolylmethylene)-2-indolinones, analogs of compounds recently published, is described. The EIZ isomerism was studied by means of nuclear Overhauser effect experiments and X-ray crystallography. All the compounds were tested as potential antitumor agents. They were also tested as potential inhibitors of cyclin-dependent kinase 1 (CDK1), in order to determine if the antitumor activity was related to this mechanism of action. The results showed that under certain substitution conditions (5-methoxy group for the indole benzene ring and 2-methyl group for the imidazothiazole system), an interesting antitumor activity was found for some compounds. From the analysis of the antitumor data, 3-1(2,6-dimethylimidazo[2,1-bJ-thiazol-5-yl)methylenel-5-methoxy-2-indolinone was the most active of the whole series.

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